PATION THEATY (DCT

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT C. L'RATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 14 December 2000 (14.12.2000)

PCT

(10) International Publication Number WO 00/75114 A1

(51) International Patent Classification⁷: C07D 215/26, A61K 31/395, A61P 43/00, C07D 405/12, C07C 233/43, C07D 215/22, C07C 215/80, 311/08

(21) International Application Number: PCT/EP00/05058

(22) International Filing Date: 2 June 2000 (02.06.2000)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

9913083.3

4 June 1999 (04.06.1999) GB

- (71) Applicant (for all designated States except AT, US): NO-VARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).
- (71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H. [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): CUENOUD, Bernard [CH/GB]; Lodge 2, Novartis Horsham Research Centre, Wimblehurst Road, Horsham, West Sussex RH12 5AB (GB). BRUCE, Ian [GB/GB]; 9 Bourns Court, Ayshe Court Drive, Horsham, West Sussex RH13 5RL (GB). FAIRHURST, Robin, Alec [GB/GB]; 5 Greenacres, Ashington, West Sussex RH20 3LR (GB). BEATTIE,

David [GB/GB]; 13 Thelton Avenue, Broadbridge Heath, Horsham, West Sussex RH12 3LS (GB).

- (74) Agent: BECKER, Konrad; Novartis AG, Corporate Intellectual Property, Patent & Trademark Department, CH-4002 Basel (CH).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

- With international search report.
- Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BETA2-ADRENOCEPTOR AGONISTS

00/75114 A1

$$(1) \qquad \qquad \underset{(R_{10})_0}{\overset{R^8}{\bigvee}} \qquad (11)$$

(57) Abstract: Compounds of formula (I) in free or salt or solvate form, where Ar is a group of formula (II) Y is carbon or nitrogen and R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^{10} , X, n, p, q and r are as defined in the specification, their preparation and their use as pharmaceuticals, particularly for the treatment of obstructive or inflammatory airways diseases. The compounds of formula (I) in free, salt or solvate form, have β 2-adrenoreceptor agonist activity.